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STRUCTURE FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6  
 DICTIONARY FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

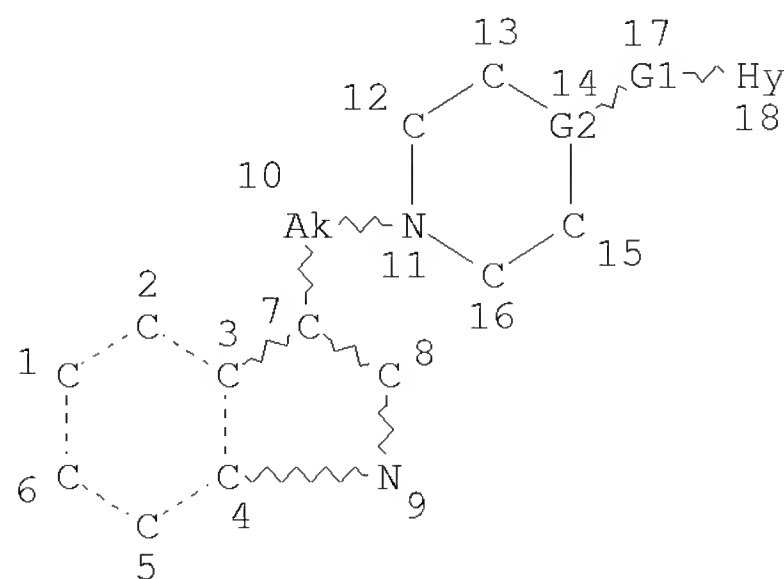
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d que sta l5

L1 STR



REP G1=(0-1) AK  
 VAR G2=C/N  
 NODE ATTRIBUTES:  
 DEFAULT MLEVEL IS ATOM  
 GGCAT IS PCY UNS AT 18  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS E1 O AT 18

GRAPH ATTRIBUTES:  
 RING(S) ARE ISOLATED OR EMBEDDED  
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE  
 L3 320091 SEA FILE=REGISTRY ABB=ON PLU=ON OC4-C6/ES  
 L5 150 SEA FILE=REGISTRY SUB=L3 SSS FUL L1

100.0% PROCESSED 3308 ITERATIONS 150 ANSWERS  
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STRUCTURE FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

DICTIONARY FILE UPDATES: 6 JAN 2008 HIGHEST RN 960045-19-6

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TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> b hcap

FILE 'HCAPLUS' ENTERED AT 16:55:22 ON 07 JAN 2008

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FILE COVERS 1907 - 7 Jan 2008 VOL 148 ISS 2

FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

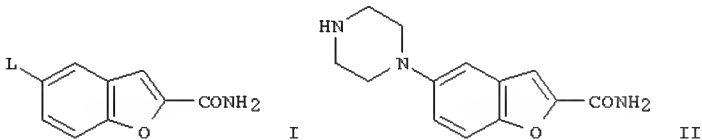
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

=> d bib abs hitstr 128 tot

L28 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2006:1154936 HCAPLUS  
DN 145:471564  
TI Method for the production of 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide  
IN Bathe, Andreas  
PA Merck Patent G.m.b.H., Germany  
SO PCT Int. Appl., 12pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2006114202	A1	20061102	2006WO-EP03344	20060412
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	DE102005019670	A1	20061102	DE 2005-102005019670	20050426
PRAI	DE 2005-102005019670	A	20050426		
OS	CASREACT 145:471564				
GI					



AB 5-[4-[4-(5-Cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide and/or a physiol. acceptable salt is prepared by the reaction of benzofurancarboxamides (I; L = Cl, Br, I, SO<sub>2</sub>F, SO<sub>2</sub>CF<sub>3</sub>, SO<sub>2</sub>C<sub>2</sub>F<sub>5</sub>) with 3-(4-piperazin-1-ylbutyl)indole-5-carbonitrile in the presence of a Pd-catalyzed coupling using Pd complexes, and/or the formed 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide is converted into an acid-addition salt by treatment with an acid, or by a second method in which a benzofuran-2-carboxamide (II) or an HX addition salt (X= Cl, Br) is reductively aminated with 3-(4-oxo-butyl)-1H-indol-5-carbonitrile, and/or 5-[4-[4-(5-cyano-3-indolyl)-butyl]-1-piperazinyl]benzofuran-2-carboxamide is converted into an acid-addition salt by treatment with an acid.

IT 163521-12-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(method for the production of 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide)

RN 163521-12-8 HCAPLUS

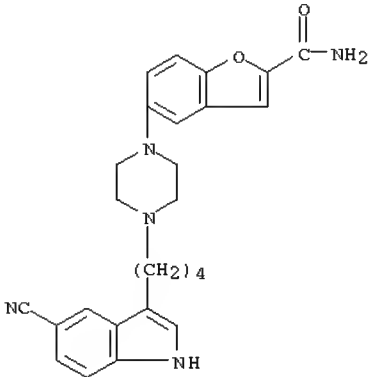
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:578527 HCAPLUS  
DN 143:126601  
TI Effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus  
AU Roberts, Claire; Hagan, Jim J.; Bartoszyk, Gerd D.; Kew, James N. C.  
CS Psychiatry CEDD, GlaxoSmithKline, Harlow, Essex, CM19 5AW, UK  
SO European Journal of Pharmacology (2005), 517(1-2), 59-63  
CODEN: EJPHAZ; ISSN: 0014-2999  
PB Elsevier B.V.  
DT Journal  
LA English  
AB The effect of vilazodone, a putative selective serotonin re-uptake inhibitor (SSRI) with 5-HT (5-hydroxytryptamine)1A receptor partial agonist activity, was investigated on 5-HT efflux and 5-HT re-uptake half life in the guinea-pig dorsal raphe nucleus, using in vitro fast cyclic voltammetry. The SSRI, fluoxetine, significantly increased 5-HT efflux. In contrast, vilazodone had no effect on 5-HT efflux at 100 nM but significantly decreased 5-HT efflux at 1 μM. Co-perfusion of 8-OH-DPAT (± 8-hydroxy-2-(di-n-propylamino)tetralin) with fluoxetine significantly attenuated the fluoxetine-induced increase in 5-HT efflux. Co-perfusion of WAY 100635 with vilazodone did not attenuate the effect of vilazodone alone. In addition, the re-uptake half life for 5-HT was significantly increased by both fluoxetine and vilazodone. In conclusion, we have demonstrated that vilazodone (100 nM, 1 μM), in the guinea-pig dorsal raphe nucleus, blocks the serotonin transporter but does not display 5-HT1A receptor agonism.

IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(effect of vilazodone on 5-HT efflux and re-uptake in the guinea-pig dorsal raphe nucleus)

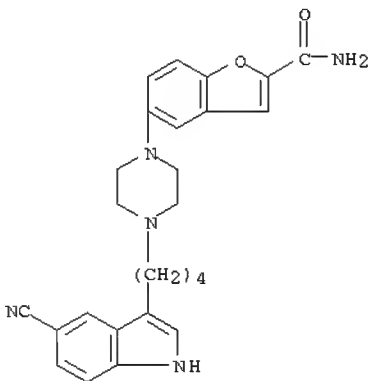
RN 163521-12-8 HCAPLUS

CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



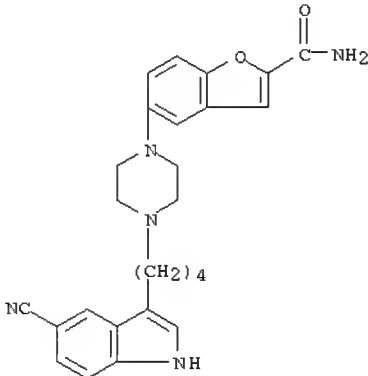
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:184699 HCAPLUS  
DN 142:329682  
TI Neurochemical evaluation of the novel 5-HT1A receptor partial agonist/serotonin reuptake inhibitor, vilazodone  
AU Hughes, Zoe A.; Starr, Kathryn R.; Langmead, Christopher J.; Hill, Matthew; Bartoszyk, Gerd D.; Hagan, James J.; Middlemiss, Derek N.; Dawson, Lee A.  
CS Psychiatry CEDD, Glaxo Smith Kline, Neuropharmacology Research, Essex, CM19 5AW, UK  
SO European Journal of Pharmacology (2005), 510(1-2), 49-57  
CODEN: EJPHAZ; ISSN: 0014-2999  
PB Elsevier B.V.  
DT Journal  
LA English  
AB Vilazodone has been reported to be an inhibitor of 5-hydroxytryptamine (5-HT) reuptake and a partial agonist at 5-HT1A receptors. Using [35S]GTPγS binding in rat hippocampal tissue, vilazodone was demonstrated to have an intrinsic activity comparable to the 5-HT1A receptor agonist 8-hydroxy-2-(di-n-propylamino)tetralin (8-OH-DPAT). Vilazodone (1-10 mg/kg p.o.) dose-dependently displaced in vivo [3H]DASB (N,N-dimethyl-2-(2-amino-4-cyanophenylthio)benzylamine) binding from rat cortex and hippocampus, indicating that vilazodone occupies 5-HT transporters in vivo. Using in vivo microdialysis, vilazodone (10 mg/kg p.o.) was demonstrated to cause a 2-fold increase in extracellular 5-HT but no change in noradrenaline or dopamine levels in frontal cortex of freely moving rats. In contrast, administration of 8-OH-DPAT (0.3 mg/kg s.c.), either alone or in combination with a serotonin specific reuptake inhibitor (SSRI; paroxetine, 3 mg/kg p.o.), produced no increase in cortical 5-HT while increasing noradrenaline and dopamine 2 and 4 fold, resp. A 2-fold increase in extracellular 5-HT levels (but no change in noradrenaline or dopamine levels) was observed after combination of the 5-HT1A receptor antagonist, N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-N-(pyridinyl)cyclohexanecarboxamide (WAY-100635; 0.3 mg/kg s.c.) and paroxetine (3 mg/kg p.o.). In summary, vilazodone behaved as a high efficacy partial agonist at the rat hippocampal 5-HT1A receptors in vitro and occupied 5-HT transporters in vivo. In vivo vilazodone induced a selective increase in extracellular levels of 5-HT in the rat frontal cortex. This profile was similar to that seen with a 5-HT1A receptor antagonist plus an SSRI but in contrast to 8-OH-DPAT either alone or in combination with paroxetine.

IT 163521-12-8, Vilazodone  
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(neurochem. evaluation of novel 5-HT1A receptor partial agonist and serotonin reuptake inhibitor vilazodone)

RN 163521-12-8 HCAPLUS

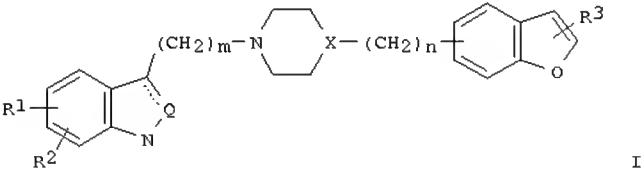
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

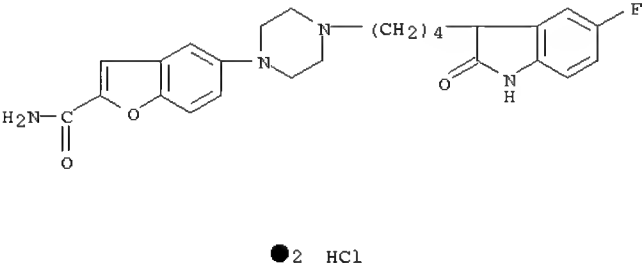
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:1154699 HCAPLUS  
DN 142:93856  
TI Preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin  
receptor ligands and/or serotonin reuptake inhibitors  
IN Heinrich, Timo; Boettcher, Henning; Schiemann, Kai;  
Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk,  
Gerd; Leibrock, Joachim; Seyfried, Christoph  
PA Merck Patent GmbH, Germany  
SO ECT Int. Appl., 45 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2004113326	A1	20041229	2004WO-EP05547	20040524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LI, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE--10326939	A1	20050105	2003DE-1026939	20030616
AU2004249372	A1	20041229	2004AU-0249372	20040524
CA---2529299	A1	20041229	2004CA-2529299	20040524
EP---1633741	A1	20060315	2004EP-0734515	20040524
R:	AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN---1805953	A	20060719	CN 2004-80016700	20040524
BR2004011533	A	20060801	2004BR-0011533	20040524
JP2006527707	T	20061207	2006JP-0515787	20040524
MX2005PA13538	A	20060309	2005MX-PA13538	20051213
US2007099933	A1	20070503	2005US-0560734	20051215 <--
PRAI 2003DE-1026939	A	20030616		
OS 2004WO-EP05547	W	20040524		
GI MARPAT 142:93856				

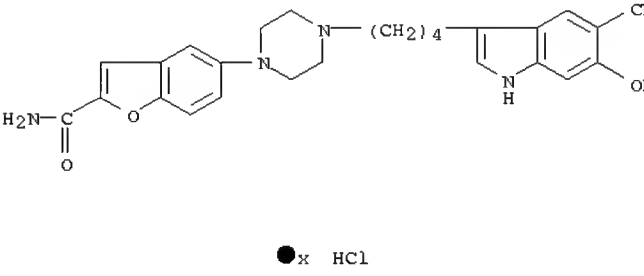


AB Title compds. [I: X = N, CH; R1-R3 = OH, OA, cyano, halo, COR4, CH2R4; R4 = OH, OA, NH2, NHB, NB2; Q = CH2, CO, CH; A, B = alkyl, alkoxy, alkenyl, alkoxyalkyl; m = 2-6; n = 0-4; dotted line = optional double bond]. were prepared. Thus, 5-[4-[4-(5-cyano-3-indolyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide in Me2SO was treated dropwise with concentrate HCl under ice cooling followed by stirring for 10 h to give 5-[4-[4-(5-cyano-2-oxo-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]benzofuran-2-carboxamide as the dihydrochloride. The latter showed 5-HT1A receptor binding activity with IC50 = 1.7 nM and serotonin reuptake inhibitor activity with IC50 = 2.9 nM. I are useful as anxiolytics, antidepressants, neuroleptics, antihypertensives and/or for pos. influencing obsessive-compulsive behavior, sleeping disorders, tardive dyskinesia, learning disorders, age-related memory defects, eating disorders such as bulimia, and/or sexual dysfunction.  
IT 714950-70-6P 816438-30-9P 816438-33-2P

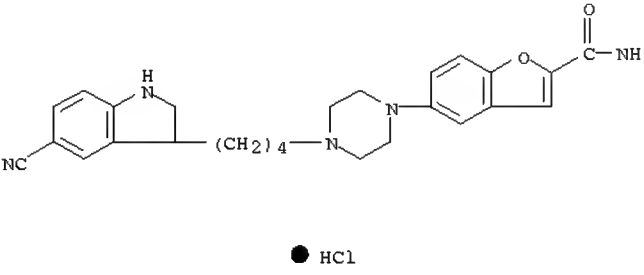
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RN 816438-37-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



RN 816438-39-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-, hydrochloride (9CI) (CA INDEX NAME)

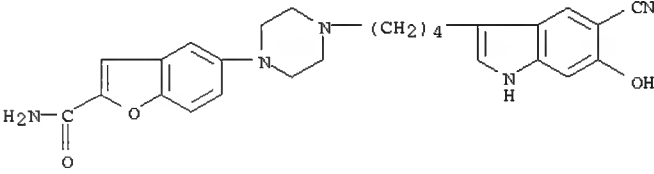


RN 816438-41-2 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

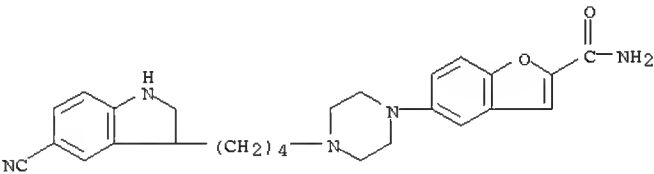


IT 163521-12-8 714950-88-6 765935-80-6  
RI: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands or reuptake inhibitors)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

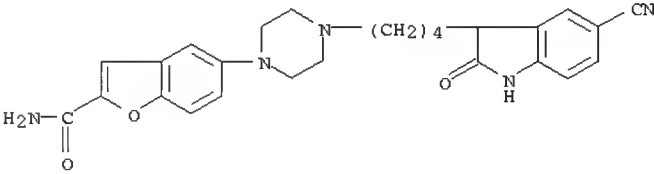
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
816438-35-4P 816438-37-6P 816438-39-8P  
816438-41-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of indolylbutylpiperazinylbenzofurancarboxamides as serotonin receptor ligands or reuptake inhibitors)  
RN 714950-70-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



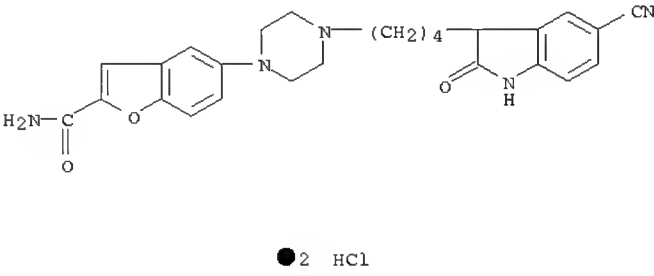
RN 816438-30-9 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



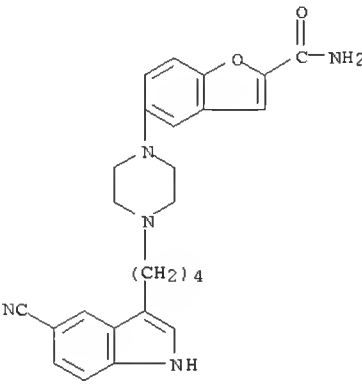
RN 816438-33-2 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



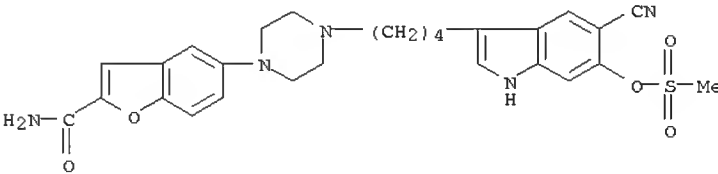
RN 816438-35-4 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-2,3-dihydro-2-oxo-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



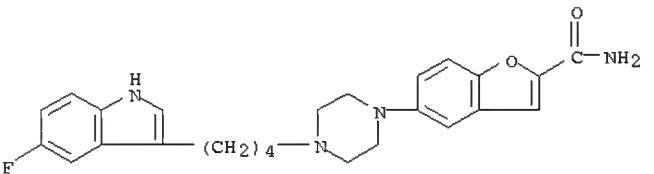
L28 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 714950-88-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-6-[(methylsulfonyl)oxy]-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



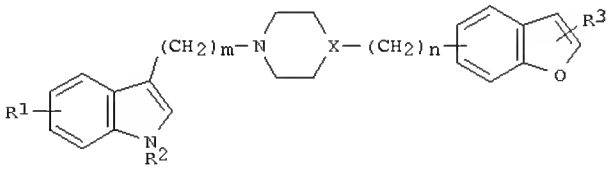
RN 765935-80-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

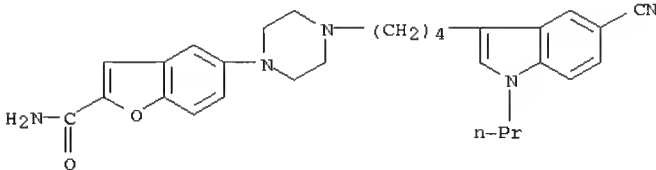
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:1154698 HCAPLUS  
DN 142:93855  
TI Preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin reuptake inhibitors and/or serotonin receptor ligands.  
IN Heinrich, Timo; Boettcher, Henning; Schiemann, Kai; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Leibrock, Joachim; Seyfried, Christoph  
PA Merck Patent GmbH, Germany; Van Amsterdam, Christoph  
SO PCI Int. Appl., 42 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

PI	W:	W:	W:	W:
WO2004113325	A1	20041229	2004WO-EP05546	20040524
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LI, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE--10326940	A1	20050105	2003DE-1026940
	AU2004249371	A1	20041229	2004AU-0249371
	CA---2529298	A1	20041229	2004CA-2529298
	EP---1633742	A1	20060315	2004EP-0734520
	R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
	BR2004011456	A	20060718	2004BR-0011456
	CN---1805954	A	20060719	CN 2004-80016748
	JP2006527706	T	20061207	2006JP-0515786
	MX2005PA13537	A	20060309	2005MX-PA13537
	US2006160824	A1	20060720	2005US-0560737
	PRAI 2003DE-1026940	A	20030616	20051215 <--
	2004WO-EP05546	W	20040524	
OS	MARPAT 142:93855			
GI				

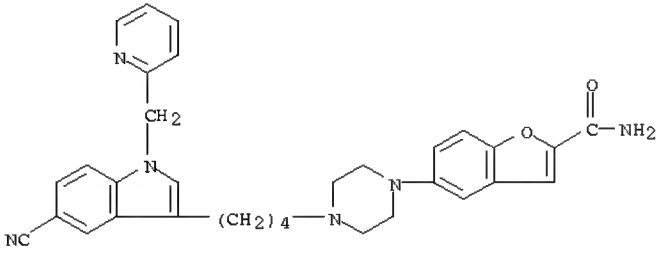


AB Title compds. [I: X = N, CH; R1, R3 = H, OH, OA, cyano, halo, COR4. CH2R4; R2 = H, (halo-substituted) alkyl, alkylaryl, alkylheteroaryl, heteroaryl; R4 = OH, OA, NH2, NHB, NB2; A, B = alkyl; m = 2-6; n = 0-4], were prepared Thus, 3-(4-(chlorobutyl)-1H-indole-5-carbonitrile in THF was added to NaH in THF followed by stirring for 30 min., addition of MeI in THF, and stirring for 30 min. at room temperature to give N-methylated product, which was heated with 5-(piperazin-1-yl)benzofuran-2-carboxamide and Et3N in N-methylpyrrolidine at 120° for 4 h to give 5-[4-(4-(5-cyano-1-methyl-1H-indol-3-yl)butyl)piperazin-1-yl]benzofuran-2-carboxamide. The latter showed serotonin reuptake inhibitory activity with IC50 = 2.6 nM. I are useful as anxiolytics, antidepressants, neuroleptics, antihypertensives, and/or for pos. influencing obsessive compulsive disorders, sleep disorders, tardive dyskinesia, learning disorders, geriatric memory loss, bulimia, irritable bowel syndrome, and sexual

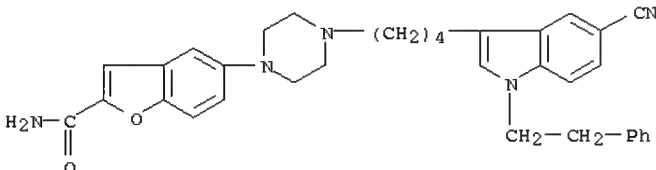
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-propyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



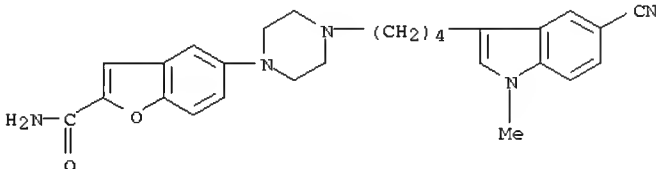
RN 816429-19-3 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(2-pyridinylmethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-20-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(2-phenylethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



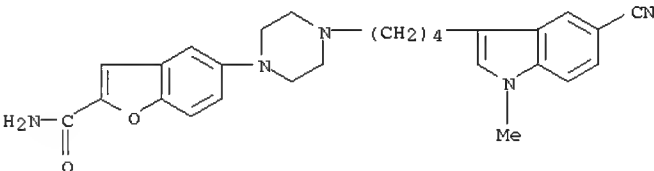
IT 816429-21-7P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of indolylbutylpiperazinylbenzofurancarboxamides as serotonin reuptake inhibitors and/or serotonin receptor ligands)  
RN 816429-21-7 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



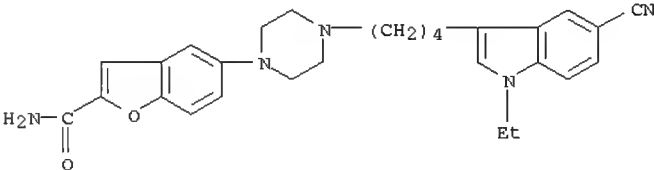
●2 HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

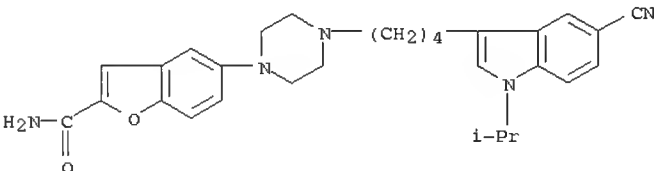
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
dysfunction.  
IT 816429-14-8P 816429-15-9P 816429-16-0P  
816429-17-1P 816429-18-2P 816429-19-3P  
816429-20-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(claimed compound; preparation of indolylbutylpiperazinylbenzofurancarboxamide s as serotonin reuptake inhibitors and/or serotonin receptor ligands)  
RN 816429-14-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-methyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



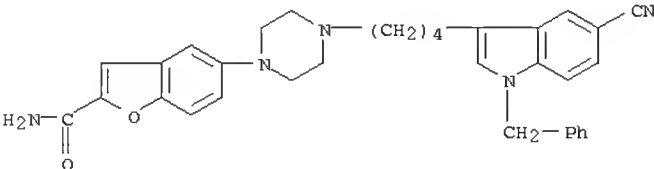
RN 816429-15-9 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1-ethyl-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-16-0 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(1-methylethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



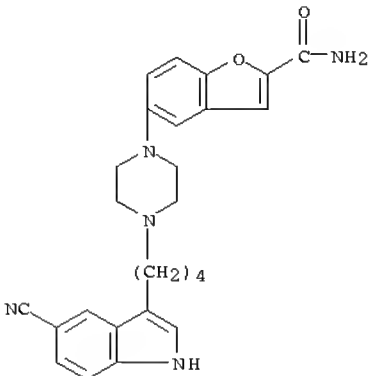
RN 816429-17-1 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-1-(phenylmethyl)-1H-indol-3-yl]butyl]-1-piperazinyl]- (CA INDEX NAME)



RN 816429-18-2 HCAPLUS

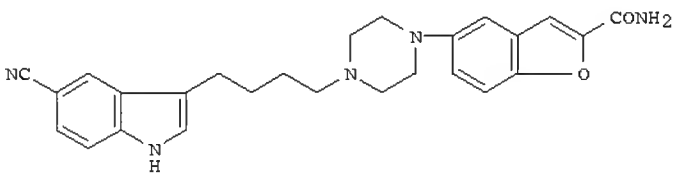
L28 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L28 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:892421 HCAPLUS  
DN 141:360593  
II Effects of systemic injections of Vilazodone, a selective serotonin reuptake inhibitor and serotonin 1A receptor agonist, on anxiety induced by predator stress in rats  
AU Adamec, Robert; Bartoszyk, Gerd D.; Burton, Paul  
CS Department of Psychology, Memorial University, St. John's, A1B 3X9, Can.  
SO European Journal of Pharmacology (2004), 504(1-2), 65-77  
CODEN: EJPHAZ; ISSN: 0014-2999  
PB Elsevier B.V.  
DT Journal  
LA English  
AB We examined the effect of Vilazodone, a selective serotonin reuptake inhibitor (SSRI) and serotonin 1A (5-HT1A) receptor agonist [Bartoszyk, G.D., Hegenbart, R., Ziegler, H., 1997. EMD 68843, a serotonin reuptake inhibitor with selective presynaptic 5-HT1A receptor agonistic properties. Eur. J. Pharmacol. 322, 147-153], on change in affect following predator stress. Vilazodone and vehicle injection (i.p.) occurred either 10 min after predator stress (prophylactic testing), or 90 min prior to behavioral testing for the effects of predator stress (therapeutic testing). Predator stress involved unprotected exposure of rats to a domestic cat. Behavioral effects of stress were evaluated with hole board, plus-maze, and acoustic startle tests 1 wk after stress. Predator stress increased anxiety-like behavior in the plus-maze and elevated response to acoustic startle. In prophylactic testing, Vilazodone affected stress potentiation of startle at doses above 5 mg/kg. Vilazodone increased stress elevation of startle at 10 mg/kg. Higher doses of Vilazodone (20 and 40 mg/kg) blocked stress potentiation of startle. In contrast, Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. In therapeutic testing, Vilazodone increased stress elevation of startle at all doses. In contrast, therapeutic Vilazodone had no effect on stress potentiation of anxiety in the plus-maze. Taken together, the data suggest a prophylactic potential for Vilazodone in the treatment of changes in hypervigilance following severe stress.  
II 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effects of SSRI and serotonin 1A receptor agonist, Vilazodone, on anxiety induced by predator stress in rats)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



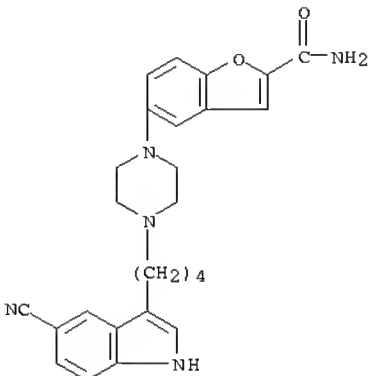
RE.CNI 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:641081 HCAPLUS  
DN 141:314299  
II Synthesis and Structure-Activity Relationship in a Class of Indolebutylpiperazines as Dual 5-HT1A Receptor Agonists and Serotonin Reuptake Inhibitors  
AU Heinrich, Timo; Boettcher, Henning; Gericke, Rolf; Bartoszyk, Gerd D.; Anzali, Soheila; Seyfried, Christoph A.; Greiner, Hartmut E.; van Amsterdam, Christoph  
CS Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany  
SO Journal of Medicinal Chemistry (2004), 47(19), 4684-4692  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 141:314299  
GI

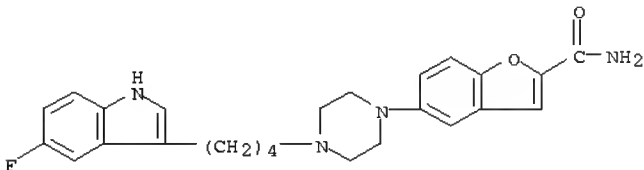


AB Systematic structural modifications of [(indolyl)alkyl](phenyl)piperazines led to improved selectivity and affinity within this class of 5-HT1A receptor agonists. Introduction of electron-withdrawing groups in position 5 on the indole group raises serotonin transporter affinity, and the cyano group proved to be the best substituent here. 5-Fluoro and 5-cyano substituted indoles show comparable results in in vitro and in vivo tests, and bioisosterism between these substituents was supported by calcn. of the mol. electrostatic potentials and dipole moments. Comps. showing promising in vitro data were further examined in ex vivo (p-chloroamphetamine assay) and in vivo (ultrasonic vocalization) tests. Optimization of the arylpiperazine moiety indicated that the 5-benzofuranyl-2-carboxamide was best suited to increase 5-HT transporter and 5-HT1A receptor affinity and to suppress D2 receptor binding. 5-[4-[4-(5-Cyano-3-indolyl)butyl]-1-piperazinyl]-2-benzofurancarboxamide (I; vilazodone, EMD 68843) was identified as a highly selective 5-HT1A receptor agonist [GTPyS, ED50 = 1.1 nM] with subnanomolar 5-HT1A affinity [IC50 = 0.2 nM] and as a subnanomolar 5-HT re-uptake inhibitor [RUI = 0.5 nM] showing a great selectivity to other GPCRs (e.g., D2, IC50 = 666 nM). I is a promising candidate for further investigation in the treatment of mood disorders (no data).  
II 163521-12-8P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of [(cyanoindolyl)butyl]piperazinyl)benzofurancarboxamide derivative and study of its activity as 5-HT1A receptor agonist and serotonin re-uptake inhibitor)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

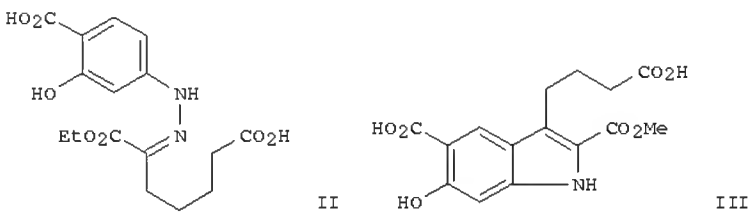
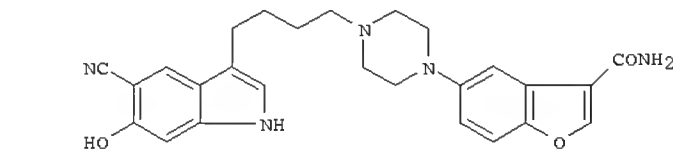


II 765935-80-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of [(indolyl)butyl]piperazinyl)benzofurancarboxamide derivative and study of its activity as 5-HT1A receptor agonist and serotonin re-uptake inhibitor)  
RN 765935-80-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-fluoro-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNI 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

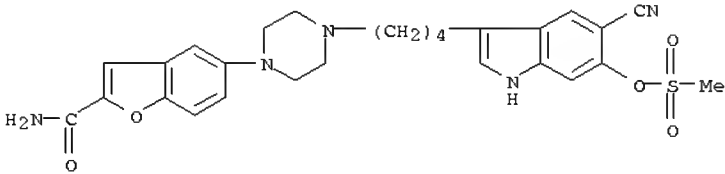
L28 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:346288 HCAPLUS  
DN 141:88987  
II A new synthesis of indole 5-carboxylic acids and 6-hydroxy-indole-5-carboxylic acids in the preparation of an o-hydroxylated metabolite of vilazodone  
AU Heinrich, Timo; Boettcher, Henning  
CS Preclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany  
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(10), 2681-2684  
CODEN: BMCLB8; ISSN: 0960-894X  
PB Elsevier Science B.V.  
DT Journal  
LA English  
OS CASREACT 141:88987  
GI



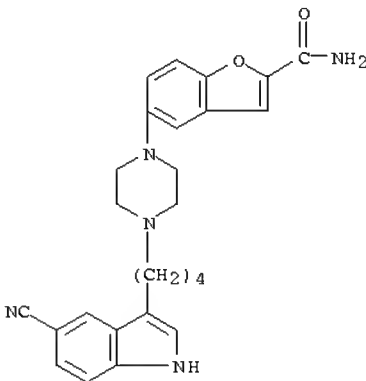
AB A major metabolite of the potential antidepressant vilazodone formed in rat, dog, monkey and human liver microsomes is 5-[4-[4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl]-1-piperazinyl]-2-benzofurancarboxamide (I). For the construction of the salicyl-like substituted indole a synthesis of carboxirole was adapted using Japp-Klingemann-type Fischer-indole synthesis protocols. The reaction of 4-amino-2-hydroxybenzoic acid with 2-oxocyclohexanecarboxylic acid Et ester gave 4-[(5-carboxy-1-(ethoxycarbonyl)pentylidene)hydrazino]-2-hydroxybenzoic acid (II). The Japp-Klingemann reaction of II gave a 6:1 mixture of 5-carboxy-6-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanolic acid (III) and its 4-hydroxy isomer, 5-carboxy-4-hydroxy-2-(methoxycarbonyl)-1H-indole-3-butanolic acid. Functional group interconversion of carboxylic acid via carboxamide into cyanide was performed for III. The synthesis of carboxirole [i.e., 3-[4-(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)butyl]-1H-indole-5-carboxylic acid] was also reported using this Japp-Klingemann-type Fischer-indole synthesis protocol.  
II 714950-88-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazino](hydroxy)benzoate intermediate)  
RN 714950-88-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-[5-cyano-6-[(methylsulfonyl)oxy]-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



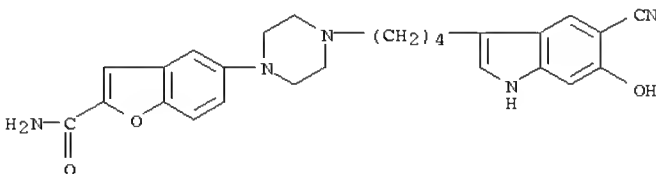
L28 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 163521-12-8DP, Vilazodone, metabolites  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazino](hydroxy)benzoate intermediate)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)

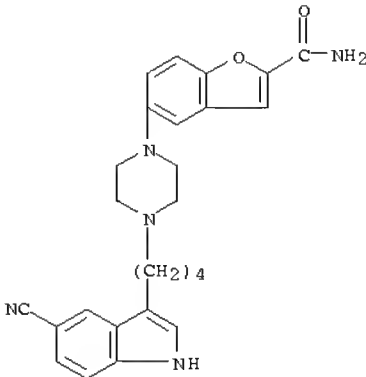


IT 714950-70-6P, 5-[4-(4-(5-Cyano-6-hydroxy-1H-indol-3-yl)butyl)-1-piperazinyl]-2-benzofurancarboxamide  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(vilazodone metabolite; preparation of vilazodone metabolite via Japp-Klingemann-type Fischer indole synthesis of 2,5-dicarboxy-6-hydroxy-1H-indole-3-butanate from [(carboxy(ethoxycarbonyl)pentylidene)hydrazino](hydroxy)benzoate intermediate)  
RN 714950-70-6 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-6-hydroxy-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



RE.CNI 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L28 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:977808 HCAPLUS  
DN 138:44671  
TI Polymorphic forms of 1-'4-(5-cyanoindol-3-yl)butyl-4-(2-carbamoylbenzofuran-5-yl)piperazine hydrochloride  
IN Bathe, Andreas; Helfert, Bernd; Neuenfeld, Steffen; Kniel, Heike; Bartels, Matthias; Rudolph, Susanne; Boettcher, Henning  
PA Merck Patent G.m.b.H., Germany  
SO PCI Int. Appl., 103 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2002102794	A2	20021227	2002WO-EP06153	20020605
WO2002102794	A3	20030220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA---	2451028	A1	20021227	2002CA-2451028
AU2002320822	A1	20030102	2002AU-0320822	20020605
AU2002320822	B2	20071115		
EP---	1397357	A2	20040317	2002EP-0754627
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BE---	200400019	A	20040415	2004BE-0000019
HU2004000236	A2	20040628	2004HU-0000236	20020605
CN---	1516699	A	20040728	2002CN-0812226
BR2002010495	A	20040817	2002BR-0010495	20020605
JP2004534803	T	20041118	2003JP-0506267	20020605
NZ---	530642	A	20060929	2002NZ-0530642
RU---	2303598	C2	20070727	2004RU-0100824
MX2003PA11723	A	20040319	2003MX-PA11723	20031216
US2004147528	A1	20040729	2003US-0481270	20031219
IN2004KN00031	A	20060407	2004IN-KN00031	20040109
ZA2004000329	A	20050415	2004ZA-0000329	20040115
PRAI	2001EP-0113647	A	20010619	
2002WO-EP06153	W	20020605		

AB The invention relates to new crystalline modifications of the hydrochloride salt of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine, crystalline modification of the dihydrochloride of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine and amorphous 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)piperazine-HCl (I) which are suitable in particular for the preparation of solid pharmaceuticals for the treatment or prevention of depressive disorders, anxiety disorders, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, fibromyalgia, sleeping disorders, psychiatric disorders, cerebral infarction, tension, for the therapy of side-effects in the treatment of hypogonadism, secondary amenorrhea, premenstrual syndrome and undesired puerperal lactation. Thus, to a solution of 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoylbenzofuran-5-yl)piperazine in THF was added HCl. The I hydrate obtained was dried at 85-90° to give I which was characterized by spectral properties.

IT 163521-12-8  
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(preparation of polymorphic forms of (cyanoindolyl)butylcarbamoylbenzofuranyl piperazine hydrochloride)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN

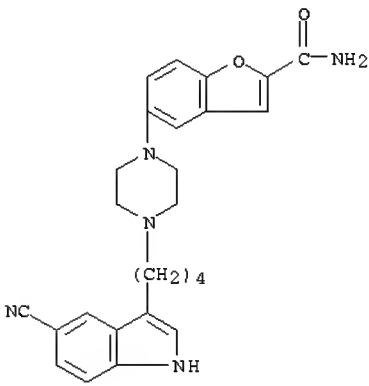
AN 2002:391537 HCAPLUS  
DN 136:380124  
TI Veterinary use of combined 5-HT1A agonists and serotonin reuptake inhibitors for the treatment of traumatic and compulsive disorders associated with behavioral stressors  
IN Bartoszyk, Gerd  
PA Merck Patent GmbH, Germany  
SO PCI Int. Appl., 20 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2002040024	A1	20020523	2001WO-EP11952	20011016
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA---	2428511	A1	20020523	2001CA-2428511
AU---	200215027	A	20020527	2002AU-0015027
EP---	1333832	A1	20030813	2001EP-0983555
EP---	1333832	B1	20071128	
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR2001015296	A	20030902	2001BR-0015296	20011016
HU2003002751	A2	20031128	2003HU-0002751	20011016
HU2003002751	A3	20070628		
JP2004513924	T	20040513	2002JP-0542397	20011016
RU---	2288719	C2	20061210	2003RU-0115431
MX2003PA04166	A	20030922	2003MX-PA04166	20030512
NO2003002148	A	20030513	2003NO-0002148	20030513
US2004082594	A1	20040429	2003US-0416573	20030513
IN2003KN00745	A	20050204	2003IN-KN00745	20030610
ZA2003004606	A	20040913	2003ZA-0004606	20030612
HK---	1060697	A1	20060707	2004HK-0103692
PRAI	2000EP-0124815	A	20001114	
2001WO-EP11952	W	20011016		

AB The invention discloses the use of combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular 1-[4-(5-cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine, or a physiol. acceptable salt thereof, or 3-[4-(4-(4-cyano-phenyl)-piperazin-1-yl)-butyl]-1H-indole-5-carbonitrile, or a physiol. acceptable salt thereof, for the manufacture of a medicament for use in veterinary medicine for the treatment or prophylaxis of self-directed traumatic disorders associated with behavioral stressors and compulsive disorders associated with behavioral stressors.

IT 163521-12-8  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(veterinary use of combined 5-HT1A agonists and serotonin reuptake inhibitors for treatment of traumatic and compulsive disorders associated with behavioral stressors)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

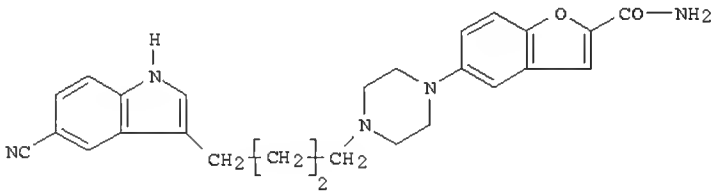


RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:391504 HCAPLUS  
DN 136:380120  
TI Novel use of combined 5-HT1a agonists and selective serotonin reuptake inhibitors  
IN Bartoszyk, Gerd; Sedman, Ewen  
PA Merck Patent Gmbh, Germany  
SO PCT Int. Appl., 34 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2002039989	A1	20020523	2001WO-EP12686	20011102
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA---	2429216 A1	20020523	2001CA-2429216	20011102
AU-200221803	A	20020527	2002AU-0021803	20011102
EP---	1335716 A1	20030820	2001EP-0996368	20011102
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR2001015434	A	20031007	2001BR-0015434	20011102
JP2004513916	T	20040513	2002JP-0542364	20011102
HU2004000504	A2	20040628	2004HU-0000504	20011102
HU2004000504	A3	20060228		
CN---	1541093 A	20041027	2001CN-0819111	20011102
AU2002221803	B2	20070215	2002AU-0221803	20011102
RU---	2302243 C2	20070710	2003RU-0116893	20011102
MX2003PA04341	A	20030819	2003MX-PA04341	20030516
NO2003002248	A	20030519	2003NO-0002248	20030519
US2004014771	A1	20040122	2003US-0432047	20030519
IN2003KN00778	A	20060317	2003IN-KN00778	20030613
ZA2003004757	A	20040920	2003ZA-0004757	20030619
PRAI 2000EP-0125409	A	20001120		
2001WO-EP12686	W	20011102		

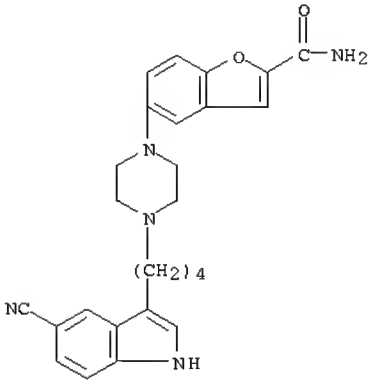
GI



AB The present invention relates to the use of compds. being combined selective serotonin (5-HT) reuptake inhibitors (SSRIs) and 5-HT1A receptor agonists, in particular of I or a physiol. acceptable salt thereof or 3-[4-[4-(4-cyanophenyl)piperazin-1-yl]butyl]-1H-indole-5-carbonitrile or a physiol. acceptable salt thereof, for the manufacture of a medicament for the treatment of chronic pain disorders or in treating other conditions where there is hyper-sensitization to painful signals, hyperalgesia, allodynia, enhanced pain perception, and enhanced memory of pain, as well as for the treatment of irritable bowel syndrome (IBS). I-HCl reduced writhing in mice at 30 mg/kg orally by 82% in pain-relieving acute analgetic property tests.

IT 163521-12-8  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

L28 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
(Biological study); USES (Uses)  
(combined 5-HT1a agonists and selective serotonin reuptake inhibitors as analgesics)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)

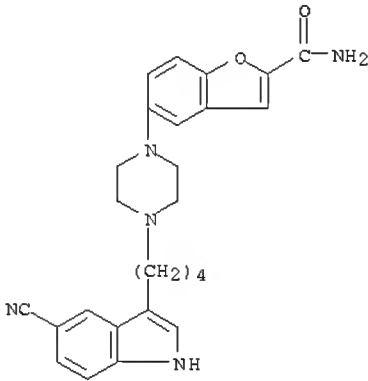


RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:454453 HCAPLUS  
DN 135:282632  
TI Studies comparing in vivo:in vitro metabolism of three pharmaceutical compounds in rats, dogs, monkeys, and humans [by] using cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures  
AU Hewitt, Nicola J.; Buhning, Karl-Uhlich; Dasenbrock, Johannes; Haunschild, Jutta; Ladstetter, Bernhard; Utesch, Dietmar  
CS Institute of Toxicology, Merck KGaA, Darmstadt, D-64271, Germany  
SO Drug Metabolism and Disposition (2001), 29(7), 1042-1050  
CODEN: DMDSAT; ISSN: 0090-9556  
PB American Society for Pharmacology and Experimental Therapeutics  
DT Journal  
LA English  
AB The in vivo metabolism of EMD68843, EMD96785, and EMD128130 was compared in fresh and cryopreserved hepatocyte (CPH) suspensions and microsomes from rat, dog, monkey, and human livers and in fresh human and rat hepatocyte collagen-gel-immobilized cultures (GICs). Half of the major in vivo metabolites were produced by phase 1 metabolism (hydroxylation, oxidation, hydrolysis, N-dealkylation) and half by phase 2 metabolism (mostly glucuronidation but also sulfation and glycine conjugation). The identities and percentages of phase 1 and 2 metabolites of each compound produced in hepatocytes compared well with those in each species in vivo. Glucuronidation was more extensive in GICs than in CPHs. In contrast, CPHs, but not GICs, produced sulfate metabolites. Microsomes (supplemented with NADPH only) produced most of the phase 1 but no phase 2 metabolites. Metabolism by CPHs was the same as that by fresh hepatocyte suspensions. Discrete species differences in metabolism were detected in CPHs and microsomes. The cytochrome P 450 and glucuronosyl S-transferase contents of CPHs did not account for the species differences in the percentage of phase 1 and 2 metabolites or the rate of disappearance of the parent compds. in these cells. These data show a good correlation between major metabolites formed in vivo and in vitro. CPHs and GICs, unlike microsomes, carried out sequential phase 1 and 2 metabolism. Each in vitro system has its own advantages; however, for short-term metabolism studies CPHs may be more useful, since they are readily available, easier and quicker to prepare than GICs, and have more comprehensive enzyme systems than microsomes.

IT 163521-12-8, EMD 68843  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(in vivo vs. in vitro metabolism of EMD68843, EMD 96785, and EMD 128130 in rats, dogs, monkeys, and humans by cryopreserved hepatocytes, microsomes, and collagen-gel-immobilized hepatocyte cultures)

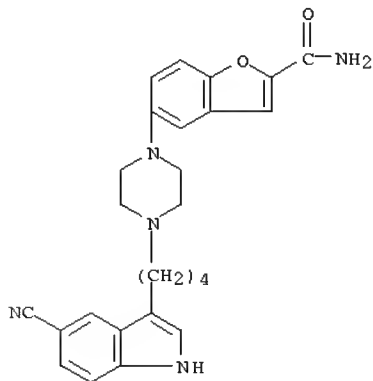
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

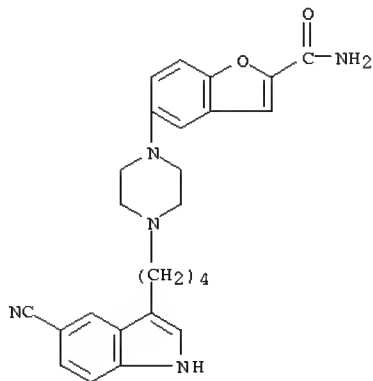


L28 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:164199 HCAPLUS  
DN 135:441  
TI Systemic EMD 68843 injections reduce anxiety in the shock-probe, but not the plus-maze test  
AU Treit, D.; Degroot, A.; Kashluba, S.; Bartoszyk, G. D.  
CS Department of Psychology, University of Alberta, Edmonton, AB, T6G 2E9, Can.  
SO European Journal of Pharmacology (2001), 414(2/3), 245-248  
CODEN: EJPHAZ; ISSN: 0014-2999  
PB Elsevier Science B.V.  
DT Journal  
LA English  
AB Selective serotonin (5-hydroxytryptamine; 5-HT) reuptake inhibitors and 5-HT1A receptor agonists are believed to reduce anxiety. In the present study we examined the effects of injections of 5-[4-[4-(5-cyano-3-indolyl)-butyl]-1-piperazinyl]-benzofuran-2-carboxamide hydrochloride (EMD 68843), a 5-HT1A receptor agonist and selective 5-HT reuptake inhibitor, in two animal models of anxiety, plus-maze and shock-probe. Rats received i.p. injections of vehicle, diazepam (2.5 mg/kg), or EMD 68843 (10, 20, or 40 mg/kg) 1 h prior to testing. Diazepam at the single dose tested and EMD 68843 dose-dependently (significantly at 20 and 40 mg/kg) reduced burying in shock-probe. However, only diazepam significantly increased open arm exploration in the plus-maze. Therefore, EMD 68843 has task specific anxiolytic properties.  
IT 163521-12-8, EMD 68843  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(systemic EMD 68843 injections reduce anxiety in shock-probe, but not plus-maze test)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



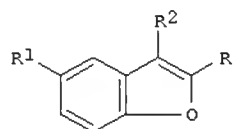
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
AB 1-[4-(5-Cyanoindol-3-yl)butyl]-4-(2-carbamoyl-benzofuran-5-yl)-piperazine (I) or a physiol. acceptable salt thereof is used for the manufacture of a medicament for the treatment of sub-type anxiety disorders chosen from the sub-types panic disorder with or without agoraphobia, obsessive-compulsive spectrum disorders, social phobia, post-traumatic stress disorder, acute stress indication or generalized-anxiety disorder, bipolar disorders, mania, dementia, substance-related disorders, sexual dysfunctions, eating disorders, obesity, anorexia and fibromyalgia. A preferred salt is I hydrochloride. For example, a mixture containing 1 kg I or a physiol. acceptable salt, 4 kg lactose, 1.2 kg potato starch, 0.2 kg talc, and 0.1 kg Mg stearate was tableted in the customary manner in such a way that each tablet comprises 10 mg of active ingredient.  
IT 163521-12-8  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compsn. of cyanoindolylbutyl(carbamoylbenzofuranyl)-piperazine and its salts for treatment of anxiety and related disorders)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



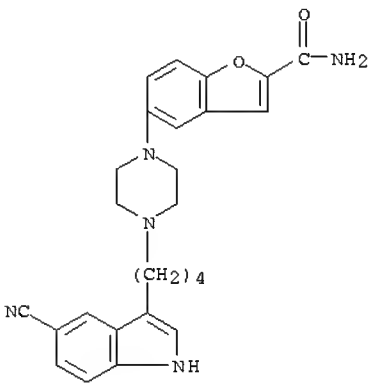
L28 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2000:861478 HCAPLUS  
DN 134:32976  
TI Novel use of cyanoindolylbutyl(carbamoylbenzofuranyl)-piperazine and its physiologically acceptable salts for treatment of anxiety and related disorders  
IN Bartoszyk, Gerd; Seyfried, Christoph; Van  
Amsterdam, Christoph; Bottcher, Henning; Sedman, Ewen  
PA Merck Patent G.m.b.H., Germany  
SO PCT Int. Appl., 37 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI WO2000072832 A2 20001207 2000WO-EP04376 20000516  
WO2000072832 A3 20011220  
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW  
RW: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
TW----518218 B 20030121 TW 1999-88119882 19991115  
CA----2372668 A1 20001207 2000CA-2372668 20000516  
EP----1185272 A2 20020313 2000EP-0935031 20000516  
EP----1185272 B1 20040407  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
BR2000010948 A 20020423 2000BR-0010948 20000516  
TR-200103361 T2 20020521 2001TR-0003361 20000516  
CN----1361692 A 20020731 2000CN-0808135 20000516  
HU2002001275 A2 20020828 2002HU-0001275 20000516  
HU2002001275 A3 20040428  
JP2003500441 T 20030107 2000JP-0620944 20000516  
AU----771778 B2 20040401 2000AU-0050663 20000516  
AT----263564 T 20040415 2000AT-0935031 20000516  
EP----1410800 A1 20040421 2004EP-0001441 20000516  
EP----1410800 B1 20060823  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY  
PT----1185272 T 20040831 2000PT-0935031 20000516  
RU----2237477 C2 20041010 2001RU-0133342 20000516  
ES----2219342 T3 20041201 2000ES-0935031 20000516  
US----6900212 B1 20050531 2001US-0979922 20000516  
CZ----295623 B6 20050914 2001CZ-0004226 20000516  
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AT----337008 T 20060915 2004AT-0001441 20000516  
EP----1736158 A2 20061227 2006EP-0017231 20000516  
EP----1736158 A3 20070103  
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SI, LT, LV, RO, SI  
ES----2271707 T3 20070416 2004ES-4001441 20000516  
NO2001005746 A 20011126 2001NO-0005746 20011126  
NO----322120 B1 20060814  
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ZA2001010485 A 20030630 2001ZA-0010485 20011220  
IN2001KN01351 A 20050311 2001IN-KN01351 20011221  
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US2005113386 A1 20050526 2004US-0994226 20041123  
NO2006001562 A 20011126 2006NO-0001562 20060406  
NO----324230 B1 20070910  
PRAI 1999EP-0109295 A 19990527  
2000CN-0808135 A3 20000516  
2000EP-0935031 A3 20000516  
2004EP-0001441 A3 20000516  
2000WO-EP04376 W 20000516  
2002US-0979922 A3 20020408

L28 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 1996:689356 HCAPLUS  
DN 125:328501  
TI Preparation of 5-aminobenzofuran-2-carboxylates as drug intermediates  
IN Bathe, Andreas; Helfert, Bernd; Boettcher, Henning; Schuster, Kurt  
PA Merck Patent GmbH, Germany  
SO Eur. Pat. Appl., 13 pp.  
CODEN: EPXXDW  
DT Patent  
LA German  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI EP----738722 A1 19961023 1996EP-0105701 19960411  
EP----738722 B1 20030625  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE  
DE--19514567 A1 19961024 1995DE-1014567 19950420  
EP----1215210 A2 20020619 2002EP-0006144 19960411  
EP----1215210 A3 20020626  
EP----1215210 B1 20061018  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV  
AT----243689 T 20030715 1996AT-0105701 19960411  
PT----738722 T 20031128 1996PT-0105701 19960411  
ES----2201143 T3 20040316 1996ES-0105701 19960411  
AT----342893 T 20061115 2002AT-0006144 19960411  
ES----2275765 T3 20070616 2002ES-0006144 19960411  
CN----1140171 A 19970115 1996CN-0104983 19960416  
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AU----704495 B2 19990422  
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NO--9601579 A 19961021 1996NO-0001579 19960419  
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JP--08291161 A 19961105 1996JP-0120781 19960419  
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HU--9601033 A2 19971028 1996HU-0001033 19960419  
US--5723614 A 19980303 1996US-0634825 19960419  
CZ----294697 B6 20050216 1996CZ-0001131 19960419  
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JP2006290905 A 20061026 2006JP-0214860 20060807  
PRAI 1995DE-1014567 A 19950420  
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1996JP-0120781 A3 19960419  
1996US-0634825 A3 19960419  
OS MARPAT 125:328501  
GI



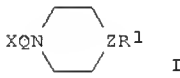
AB Title compds. [I; R = cyano, CO2H, alkoxycarbonyl, etc.; R1 = NH2, piperazino, (N-benzyl)piperazinyl, etc.] were prepared. Thus, Et 5-nitrobenzofuran-2-carboxylate (preparation described) was converted in 5 steps to 5-piperazinobenzofuran-2-carboxamide.  
IT 163521-12-8P  
RL: PNU (Preparation, unclassified); PREP (Preparation)  
(preparation of 5-aminobenzofuran-2-carboxylates as drug intermediates)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



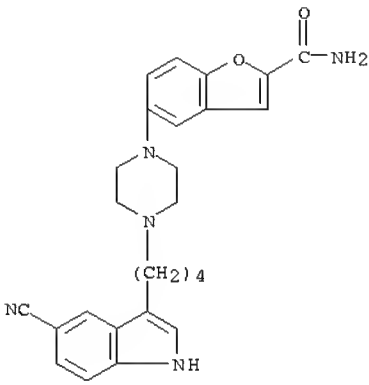
L28 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 1995:586488 HCAPLUS  
DN 123:9463  
TI Preparation of (indolylalkyl)piperidines and -piperazines as drugs.  
IN Boettcher, Henning; Seyfried, Christoph; Bartoszyk, Gerd  
; Greiner, Hartmut  
PA Merck Patent G.m.b.H., Germany  
SO Ger. Offen., 12 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE---4333254	A1	19950406	1993DE-4333254	19930930
	EP----648767	A1	19950419	1994EP-0114798	19940920
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	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	AT----153663	T	19970615	1994AT-0114798	19940920
	ES---2105454	T3	19971016	1994ES-0114798	19940920
	AU---9474244	A	19950413	1994AU-0074244	19940927
	AU----679774	B2	19970710		
	CN---1106811	A	19950816	1994CN-0116585	19940927
	CN---1056610	B	20000920		
	CA---2133152	C	19950331	1994CA-2133152	19940928
	CA---2133152	A1	19950331		
	JP--07149762	A	19950613	1994JP-0233538	19940928
	PL----178137	B1	20000331	1994PL-0305216	19940928
	CZ---293558	B6	20040616	1994CZ-0002370	19940928
	NO---9403616	A	19950331	1994NO-0003616	19940929
	NO---306948	B1	20000117		
	ZA---9407622	A	19950516	1994ZA-0007622	19940929
	HU-----71833	A2	19960228	1994HU-0002806	19940929
	HU-----218918	B	20001228		
	US---5532241	A	19960702	1994US-0314734	19940929
	RU---2132848	C1	19990710	1994RU-0035660	19940929
	SK---281793	B6	20010806	1994SK-0001184	19940929
	JP2007119502	A	20070517	2007JP-0034671	20070215
PRAI	1993DE-4333254	A	19930930		
	1994JP-0233538	A3	19940928		
OS	MARPAT 123:9463				
GI					



AB Title compds. [I; X = (HO-, alkoxy-, cyano-, halo-, R2CO-, R2CH2-substituted) 3-indolyl; R1 = (cyano-, HOCH2-, alkoxyethyl-, R2CO-substituted) benzofuran-5-yl, 2,3-dihydrobenzofuran-5-yl, chroman-6-yl, chroman-4-on-5-yl, 3-chromen-6-yl, chromen-4-on-6-yl; Q = (CH2)m; Z = N, CR3; R2 = OH, alkoxy, amino; R3 = H, OH, alkoxy; m = 2-4], were prepared having 5-HT1A agonist activity, etc. (no data). Thus, 3-(4-chlorobutyl)-5-methoxyindole and 1-(2-hydroxymethylbenzofuran-5-yl)piperazine were refluxed in MeCN to give 1-[4-(5-methoxyindol-3-yl)butyl]-4-(2-hydroxymethylbenzofuran-5-yl)piperazine.  
IT 163521-12-8P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of (indolylalkyl)piperidines and -piperazines as drugs)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L28 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



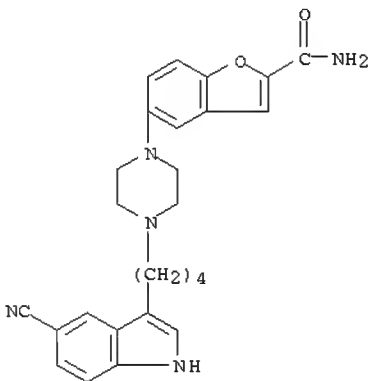
=> d bib abs hitstr 129 tot

L29 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:1171443 HCAPLUS  
DN 143:432676  
TI New pharmaceutical compositions for the treatment of sexual disorders  
IN Mendla, Klaus; Pyke, Robert; Eisenreich, Wolfram; Friedl, Thomas  
PA Boehringer Ingelheim International GmbH, Germany; Boehringer Ingelheim Pharmaceuticals, Inc.; Boehringer Ingelheim Pharma GmbH & Co. KG  
SO PCT Int. Appl., 71 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005102342	A1	20051103	2005WO-EP04081	20050418 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU2005235422	A1	20051103	2005AU-0235422	20050418 <--
CA---2563743	A1	20051103	2005CA-2563743	20050418 <--
EP---1740181	A1	20070110	2005EP-0736586	20050418 <--
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
CN---1946404	A	20070411	CN 2005-80012692	20050418 <--
BR2005010074	A	20071016	2005BR-0010074	20050418 <--
JP2007533686	T	20071122	2007JP-0508810	20050418 <--
US2005245539	A1	20051103	2005US-0110449	20050420 <--
IN2006DN06048	A	20070427	2006IN-DN06048	20061017 <--
MX2006PA12059	A	20070125	2006MX-PA12059	20061018 <--
KR2007014184	A	20070131	2006KR-0724443	20061121 <--
PRAI 2004US-564662P	P	20040422	<--	
2004US-631800P	P	20041130		
2005WO-EP04081	W	20050418		

OS MARPAT 143:432676  
AB The invention relates to new pharmaceutical compns. for the treatment of sexual disorders and methods for the preparation thereof. In a preferred embodiment, the instant invention is directed to pharmaceutical combinations comprising flibanserin as one active ingredient in combination with at least one addnl. active ingredient for the treatment of sexual disorders and methods for the preparation thereof.  
IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(new pharmaceutical compns. for treatment of sexual disorders)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

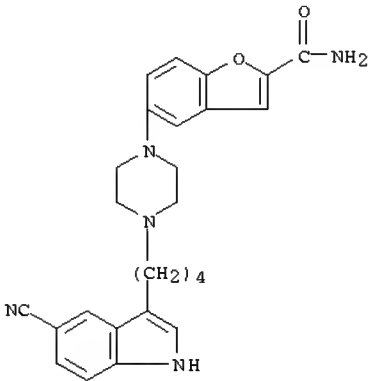


RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:1004550 HCAPLUS  
DN 143:311967  
TI Compositions for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents  
IN Stephenson, Diane; Taylor, Duncan P.  
PA Pharmacia Corporation, USA  
SO PCT Int. Appl., 200 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005084654	A2	20050915	2005WO-US06818	20050302 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA---2556380	A1	20050915	2005CA-2556380	20050302 <--
EP---1725222	A2	20061129	2005EP-0724377	20050302 <--
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
BR2005008254	A	20070724	2005BR-0008254	20050302 <--
JP2007526328	T	20070913	2007JP-0501959	20050302 <--
MX2006PA09919	A	20061116	2006MX-PA09919	20060831 <--
PRAI 2004US-549281P	P	20040302	<--	
2005WO-US06818	W	20050302		

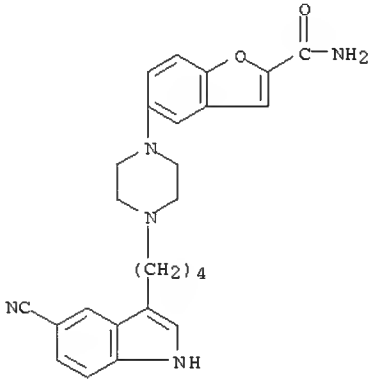
AB The present invention relates to a novel method of treating and/or preventing psychiatric disorders in a subject by administering to the subject at least one Cox-2 inhibitor alone or in combination with one or more antidepressant agents. Compns. pharmaceutical compns. and kits are also described. Thus, celecoxib was prepared starting from 4'-methylacetophenone and ethyltrifluoroacetate followed by reaction with 4-sulfonamidophenylhydrazine. A composition is obtained by mixing sertraline and celecoxib.  
IT 163521-12-8, Vilazodone  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(compns. for treating psychiatric disorders with COX-2 inhibitors alone and in combination with antidepressant agents)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



L29 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:673104 HCAPLUS  
DN 143:146710  
TI Weak to average strength opioids or their combinations containing antidepressants for the treatment of depressions and anxiety disorders  
IN Bloms-Funke, Petra; Tzschentke, Thomas  
PA Gruenthal G.m.b.H., Germany  
SO PCT Int. Appl., 24 pp.  
CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005067916	A1	20050728	2005WO-EP00255	20050113 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE102004011392	A1	20050804	DE 2004-102004011392	20040305 <--
PRAI DE 2004-102004001968 A	A	20040113	<--	
DE 2004-102004011392 A	A	20040305	<--	

AB The invention relates to weak to average strength opioids or combinations of said opioids containing antidepressants for the treatment of depressions and anxiety disorders, in addition to a method for treating depressions and anxiety disorders. The following combinations were tested on rats in the elevated plus maze test: tilidine with nisoxtetine, tilidine with venflaxine and pethidin with nisoxtetine.  
IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(weak to average strength opioids or their combinations containing antidepressants for treatment of depressions and anxiety disorders)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



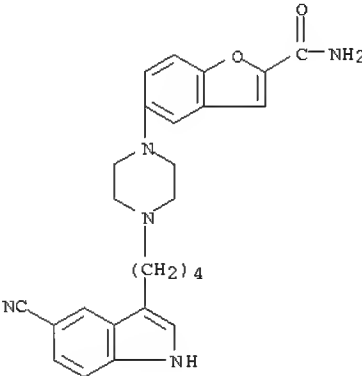
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:547557 HCAPLUS  
DN 143:53543  
TI The combination of a serotonin reuptake inhibitor and a histamine 3  
receptor antagonist, inverse agonist or partial agonist, and therapeutic  
use thereof  
IN Cremers, Thomas Ivo Franciscus Hubert; Hogg Willigers, Sandra  
PA H. Lundbeck A/S, Den.  
SO PCT Int. Appl., 36 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005056056	A2	20050623	2004WO-DK00862	20041214 <--
	WO2005056056	A3	20060202		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU2004296531	A1	20050623	2004AU-0296531	20041214 <--
	CA---2549574	A1	20050623	2004CA-2549574	20041214 <--
	EP---1696896	A2	20060906	2004EP-0803015	20041214 <--
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, II, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
	BR2004015899	A	20070109	2004BR-0015899	20041214 <--
	CN---1893935	A	20070110	CN 2004-80037386	20041214 <--
	JP2007513896	T	20070531	2006JP-0543369	20041214 <--
	MX2006PA05127	A	20060711	2006MX-PA05127	20060508 <--
	NO2006003267	A	20060713	2006NO-0003267	20060713 <--
	US2007066601	A1	20070322	2006US-0596348	20060714 <--
PRAI	2003DK-0001854	A	20031215	<--	
	2003US-529491P	P	20031215	<--	
	2004WO-DK00862	W	20041214		

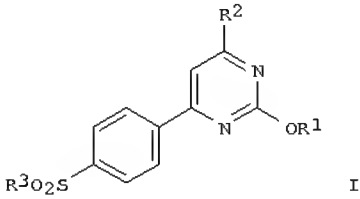
AB The invention discloses the use of a serotonin reuptake inhibitor and a H3  
receptor antagonist, inverse agonist or partial agonist for the preparation of  
a pharmaceutical composition for the treatment of depression, anxiety disorders  
and other affective disorders, such as generalized anxiety disorder, panic  
anxiety, obsessive compulsive disorder, acute stress disorder, post  
traumatic stress disorder and social anxiety disorder, eating disorders  
such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual  
syndrome, cognitive disorders, impulse control disorders, attention  
deficit hyperactivity disorder, drug abuse or any other disorder  
responsive to serotonin reuptake inhibitor.  
IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(combination of serotonin reuptake inhibitor and H3 receptor  
antagonist, inverse agonist or partial agonist, and therapeutic use)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl]-1-  
piperazinyl]- (CA INDEX NAME)

L29 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



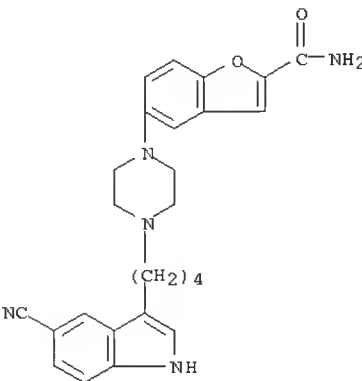
L29 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:471926 HCAPLUS  
DN 143:26625  
TI Preparation of pyridines, pyrimidines, and pyrazolopyridazines as  
cyclooxygenase-2 inhibitors for the treatment of depressive disorders.  
IN Hagan, James Joseph; Ratti, Emiliangelo; Routledge, Carol  
PA Glaxo Group Limited, UK  
SO PCT Int. Appl., 56 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO2005048999	A2	20050602	2004WO-EP13070	20041117 <--
	WO2005048999	A3	20051103		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	EP---1687000	A2	20060809	2004EP-0797973	20041117 <--
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS			
	JP2007511569	T	20070510	2006JP-0540317	20041117 <--
	US2007270428	A1	20071122	2007US-0595800	20070221 <--
PRAI	2003GB-0026967	A	20031119	<--	
	2003GB-0027937	A	20031202	<--	
	2004GB-0001862	A	20040128	<--	
	2004WO-EP13070	W	20041117		
OS	CASREACT 143:26625; MARPAT 143:26625				
GI					



AB Use of title compds. e.g. [I; R1 = H, alkyl, fluoroalkyl, alkenyl, alkynyl, cycloalkylalkyl, bridged cycloalkyl, etc.; R2 = fluoroalkyl; R3 = alkyl, amino, carboxamide] for preparation of a medicament for treatment of depressive disorders is claimed. Thus, a mixture of 4-methylthioacetophenone and Me trifluoroacetate in MeOCMe3 was treated over 30 min. with NaOMe in MeOH followed by heating at 40° for 23 h. AcOH and S-Me 2-thiopseudourea were added followed by concentration and heating at 110° overnight. AcOH was added and the mixture was cooled to 50° followed by addition of aqueous Na tungstate and then 30% H2O2 over 3 h. followed by heating at 50° for ≥12 h. The mixture was cooled to 20° and aqueous Na sulfite was added over ≥30 min. followed by aging for 1 h to give 90% 2-methylsulfonyl-4-[4-(methylsulfonyl)phenyl]-6-trifluoromethylpyrimidine. The latter was heated overnight with K2CO3 in MeOH at 50° to give 88.4% 2-butoxy-4-[4-(methylsulfonyl)phenyl]-6-trifluoromethylpyrimidine (II). In the chronic inescapable shock in rats model, II at 10 mg/kg orally with paroxetine 5 mg/kg orally gave a full reversal of the chronic escape deficit.  
IT 163521-12-8, EMD 68843  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(coadministration; preparation of pyridines, pyrimidines, and

L29 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
pyrazolopyridazines as cyclooxygenase-2 inhibitors for the treatment of depressive disorders)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



L29 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:177917 HCAPLUS  
DN 142:274044

II The combination of a serotonin reuptake inhibitor and a glycine transporter type 1 (GlyT-1) inhibitor for the treatment of depression, anxiety, and other affective disorders

IN Didriksen, Michael; Hogg Willigers, Sandra; Arnt, Jorn  
PA H. Lundbeck A/S, Den.  
SO PCT Int. Appl., 39 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005018676	A1	20050303	2004WO-DK00547	20040818 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU2004266057	A1	20050303	2004AU-0266057	20040818 <--
CA---2536275	A1	20050303	2004CA-2536275	20040818 <--
EP---1660130	A1	20060531	2004EP-0739042	20040818 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR			
BR2004013587	A	20061017	2004BR-0013587	20040818 <--
CN---1867358	A	20061122	CN 2004-80030453	20040818 <--
JP2007502785	T	20070215	2006JP-0523530	20040818 <--
IN2006CN00613	A	20070622	2006IN-CN00613	20060220 <--
MX2006PA02002	A	20060517	2006MX-PA02002	20060221 <--
NO2006001167	A	20060313	2006NO-0001167	20060313 <--
US2006223857	A1	20061005	2006US-0568133	20060509 <--
PRAI 2003DK-0001198	A	20030821 <--		
2003US-496738P	P	20030821 <--		
2004WO-DK00547	W	20040818		

AB The invention discloses the use of a compound which is a serotonin reuptake inhibitor and a compound, which is a GlyT-1 inhibitor for the preparation of a pharmaceutical composition for the treatment of depression, anxiety disorders, and other affective disorders. In particular the invention relates to treatment of depression, anxiety disorders ,and other affective disorders, e.g. generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, acute stress disorder, post traumatic stress disorder and social anxiety disorder, eating disorders such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual syndrome, cognitive disorders, impulse control disorders, attention deficit hyperactivity disorder, drug abuse or any other disorder responsive to serotonin reuptake inhibitors. The invention also discloses a pharmaceutical composition comprising a serotonin reuptake inhibitor and a GlyT-1 inhibitor.

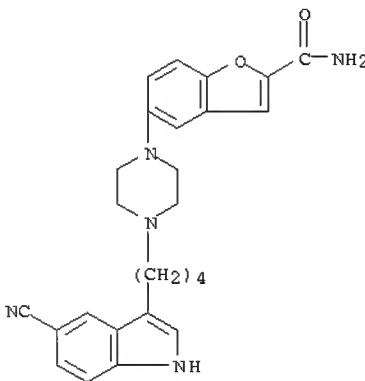
II 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(serotonin reuptake inhibitor-glycine transporter type 1 inhibitor combination for treatment of depression, anxiety, and other affective disorders)

RN 163521-12-8 HCAPLUS

CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2005:136555 HCAPLUS  
DN 142:212407

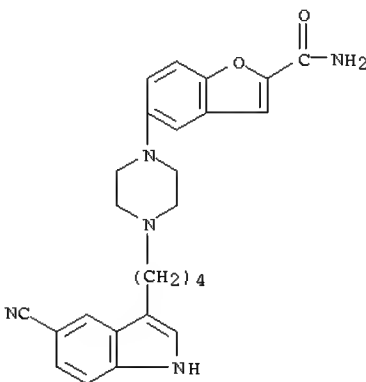
II Selective serotonin reuptake inhibitors for the treatment of premature female orgasm

IN May, Kathryn Elizabeth; Quinn, Paul  
PA Pfizer Limited, UK; Pfizer Inc.  
SO PCT Int. Appl., 20 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2005013984	A1	20050217	2004WO-IB02524	20040727 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US2005054688	A1	20050310	2004US-0911806	20040805 <--
PRAI 2003GB-0018706	A	20030808 <--		
2003US-528136P	P	20031209 <--		
AB	The present invention provides selective serotonin reuptake inhibitors (SSRIs) and their use in the preparation of a medicament for the treatment or prevention of premature female orgasm. For example, a tablet formulation contained SSRI compound 10.0%, lactose 64.125%, starch 21.375%, Croscarmellose sodium 3.0%, and magnesium stearate 1.5%.			
II	163521-12-8, Vilazodone RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (comps. of selective serotonin reuptake inhibitors for treatment of premature female orgasm)			
RN	163521-12-8 HCAPLUS			
CN	2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)			



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:452952 HCAPLUS  
DN 141:1296

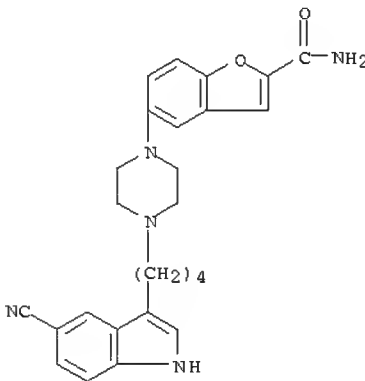
II Method of using a cyclooxygenase 2 (COX-2) inhibitor and a 5-HT1A receptor modulator as a combination therapy for pain, inflammation, and other conditions

IN Stephenson, Diane T.; Taylor, Duncan P.  
PA Pharmacia Corporation, USA  
SO PCT Int. Appl., 195 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

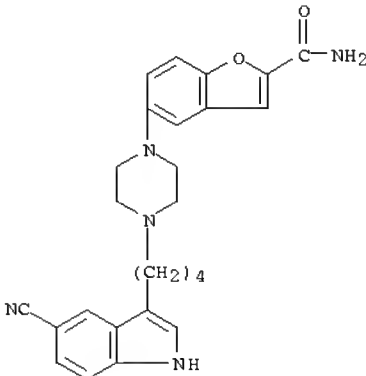
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2004045509	A2	20040603	2003WO-US35739	20031111 <--
WO2004045509	A3	20040826		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US2004147581	A1	20040729	2003US-0702403	20031105 <--
AU2003295431	A1	20040615	2003AU-0295431	20031111 <--
PRAI 2002US-427198P	P	20021118 <--		
2003WO-US35739	W	20031111 <--		
AB	Comps. and methods to treat or prevent pain, inflammation, or inflammation-related disorder, as well as a neurol. disorder involving neurodegeneration involve a combination of a COX-2 inhibitor and a 5-HT1A receptor modulator.			
II	163521-12-8, Vilazodone RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (COX2 inhibitor-5-HT1A modulator combination for treatment of pain, inflammation, and other conditions)			
RN	163521-12-8 HCAPLUS			
CN	2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)			





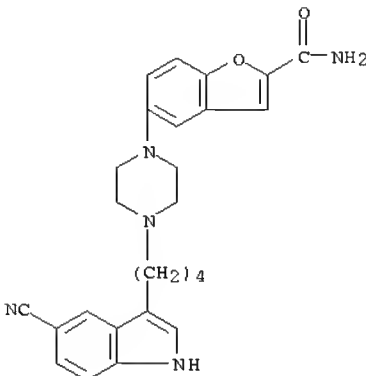
L29 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:371979 HCAPLUS  
DN 140:368059  
II Phase I and II enzyme characterization of two sources of HepG2 cell lines  
AU Hewitt, N. J.; Hewitt, P.  
CS In Vitro Technologies, Baltimore, MD, 21227, USA  
SO Xenobiotica (2004), 34(3), 243-256  
CODEN: XENOBH; ISSN: 0049-8254  
PB Taylor & Francis Ltd.  
DT Journal  
LA English  
AB The metabolism by HepG2 cell from two sources (M1, M2) of 12 substrates is reported: ethoxyresorufin, ethoxycoumarin, testosterone, tolbutamide, chlorzoxazone, dextromethorphan, phenacetin, midazolam, acetaminophen, hydroxycoumarin, p-nitrophenol and 1-chloro-2,4-dinitrobenzene (CDNB), and a pharmaceutical compound, EMD68843. Activities varied markedly. Some were present in M1 (CYP1A, CYP2C9, CYP2E1) but absent in M2. M1 had a more complete set of Phase I enzymes than M2. CYP1A2, CYP2C9, CYP2D6, CYP2E1 and CYP3A activities were present at levels similar to human hepatocytes. Phase II metabolism differed between M1 and M2. M1 conjugated hydroxycoumarin and p-nitrophenol to glucuronides only, whereas M2 produced sulfates. Glutathione conjugation of CDNB metabolism was 10-fold higher in M1 than in M2, but was still much lower than in human hepatocytes. CYP2E, CYP2C, CYP2B6 and CYP3A (but not CYP1A, glucuronyl S-transferase or S-transferase) were inducible in M1. Metabolites of EMD68843, produced by induced (but not uninduced) M1 were the same as those produced in human hepatocytes. In conclusion, HepG2 cells have both Phase I and II enzymes, which activities and at what levels depend on the source and culture conditions. Therefore, HepG2 cells routinely used in in vitro assays should be characterized for their drug-metabolizing capabilities before any results can be fully interpreted.

IT 163521-12-8, EMD68843  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(Phase I and II metabolism of substrates by two sources of HepG2 cell lines)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:2708 HCAPLUS  
DN 140:53450  
II Serotonin reuptake inhibitor combination with a GABAB receptor antagonist for the treatment of depression and other disorders  
IN Mork, Arne; Cremers, Thomas Ivo Franciscus Hubert; Willigers, Sandra  
PA H. Lundbeck A/S, Den.  
SO PCT Int. Appl., 42 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO2004000326	A1	20031231	2003WO-DK00412	20030619 <--	
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA---	2490638	A1	20031231	2003CA-2490638	20030619 <--
	CA---	2579520	A1	20031231	2003CA-2579520	20030619 <--
	AU2003240434	A1	20040106	2003AU-0240434	20030619 <--	
	BR2003011503	A	20050222	2003BR-0011503	20030619 <--	
	EP---	1545552	A1	20050629	2003EP-0729907	20030619 <--
	EP---	1545552	B1	20070328		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	CN---	1662246	A	20050831	2003CN-0814438	20030619 <--
	JP2005533069	T	20051104	2004JP-0514582	20030619 <--	
	AT---	357920	T	20070415	2003AT-0729907	20030619 <--
	ES---	2282632	T3	20071016	2003ES-3729907	20030619 <--
	ZA2004009278	A	20060426	2004ZA-0009278	20041118 <--	
	IN2004CN03184	A	20060303	2004IN-CN03184	20041213 <--	
	MX2004PA12693	A	20050323	2004MX-PA12693	20041215 <--	
	NO2004005552	A	20041220	2004NO-0005552	20041220 <--	
	US2005288355	A1	20051229	2005US-0516519	20050725 <--	
	2002DK-0000943	A	20020620	<--		
	2002US-390851P	P	20020620	<--		
	2003CA-2490638	A3	20030619	<--		
	2003WO-DK00412	W	20030619	<--		

AB The invention relates to the use of a compound, which is a serotonin reuptake inhibitor, and another compound, which is a GABAB receptor antagonist, inverse agonist or partial agonist for the preparation of a pharmaceutical composition for the treatment of depression, anxiety disorders and other affective disorders, such as generalized anxiety disorder, panic anxiety, obsessive compulsive disorder, acute stress disorder, post traumatic stress disorder and social anxiety disorder, eating disorders such as bulimia, anorexia and obesity, phobias, dysthymia, premenstrual syndrome, cognitive disorders, impulse control disorders, attention deficit hyperactivity disorder, drug abuse or any other disorder responsive to serotonin reuptake inhibitors.

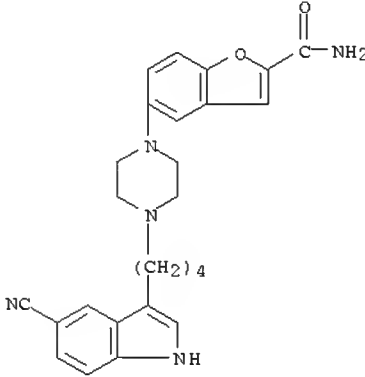
IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(serotonin reuptake inhibitor combination with a GABAB receptor modulator for treatment of depression and other disorders)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2003:1006815 HCAPLUS  
DN 140:35974  
II Treatment for depression and anxiety by the combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent  
IN Sobolov-Jaynes, Susan Beth; Schmidt, Christopher Joseph  
PA Pfizer Products Inc., USA  
SO PCT Int. Appl., 62 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2003105902	A1	20031224	2003WO-IB02295	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AE, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US2003235631	A1	20031225	2003US-0387060	20030312
CA-----2488138	A1	20031224	2003CA-2488138	20030605
AU2003233032	A1	20031231	2003AU-0233032	20030605
EP-----1517707	A1	20050330	2003EP-0727833	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR2003011903	A	20050607	2003BR-0011903	20030605
JP2005533788	T	20051110	2004JP-0512802	20030605
MX2004PA11835	A	20050331	2004MX-PA11835	20041126
IN2004CN03177	A	20060303	2004IN-CN03177	20041213
PRAI 2002US-389181P	P	20020617	<--	
2003WO-IB02295	W	20030605	<--	
OS MARPAT 140:35974				

OS MARPAT 140:35974  
AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a PDE IV inhibitor in combination with an antidepressant or an anxiolytic agent. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a PDE IV inhibitor and an anxiolytic agent or antidepressant.

IT 163521-12-8  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(treatment for depression and anxiety by combination of a PDE IV inhibitor and an antidepressant or an anxiolytic agent)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

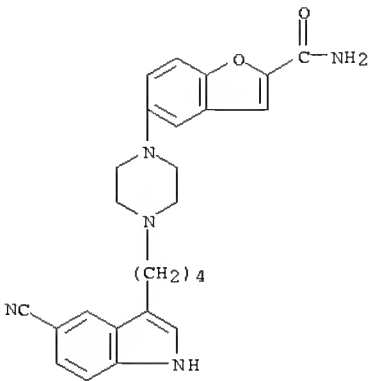


RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

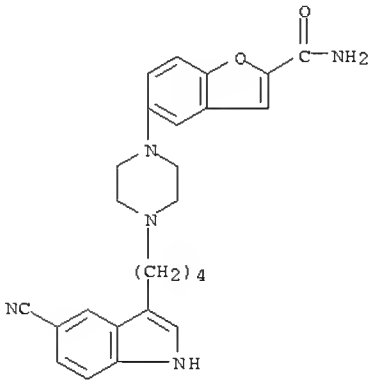
L29 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2002:659259 HCAPLUS  
DN 138:248340  
TI Behavioral and neurochemical effects of 5-[4-[4-((5-cyano-3-indolyl)butyl)butyl]-1-piperazinyl]benzofuran-2-carboxamide (EMD 68843): a combined selective inhibitor of serotonin reuptake and 5-hydroxytryptamine1A receptor partial agonist  
AU Page, Michelle E.; Cryan, John F.; Sullivan, Arthur; Dalvi, Ashutosh; Saucy, Berangere; Manning, David R.; Lucki, Irwin  
CS Department of Psychiatry, University of Pennsylvania, Philadelphia, PA, USA  
SO Journal of Pharmacology and Experimental Therapeutics (2002), 302(3), 1220-1228  
CODEN: JPETAB; ISSN: 0022-3565  
PB American Society for Pharmacology and Experimental Therapeutics  
DT Journal  
LA English  
AB EMD 68843 (vilazodone) is a novel compound with combined high affinity and selectivity for the 5-hydroxytryptamine (5-HT) transporter and 5-HT1A receptors. EMD 68843 was tested as a prototype compound, which benefits from dual pharmacol. effects that could increase extracellular 5-HT to levels higher than those produced by conventional selective serotonin reuptake inhibitors (SSRIs). In Sf9 cells, EMD 68843 increased guanosine 5'-O-(3-[35S]thiotriphosphate) binding to 69% of the magnitude of the full 5-HT1A receptor agonist R-(1)-trans-8-hydroxy-2-[N-n-propyl-N-(39-iodo-29-propenyl)aminotetralin (8-OH-PIPAT), indicating that it is a partial agonist at 5-HT1A receptors. Acute, systemic administration of EMD 68843 produced a larger maximal increase of extracellular 5-HT than the SSRI fluoxetine in both the ventral hippocampus (HPv) (558 vs. 274%) and the frontal cortex (FC) (527 vs. 165%). Regional differences in the response to the two drugs were also observed. These effects may be attributed to the differential regulation of 5-HT release in the HPv and FC by 5-HT1A autoreceptors. When challenged with the 5-HT1A receptor agonist 8-hydroxy-2-(dipropylamino)tetralin (8-OH-DPAT), EMD 68843-induced increases in extracellular 5-HT were greatly reduced in the HPv but to a lesser extent in the FC. In behavioral studies, EMD 68843 produced antidepressant-like effects in the forced swimming test in both rats and mice but only within a narrow dosage range. Like fluoxetine, EMD 68843 did not produce the symptoms of the 5-HT behavioral syndrome in rats but, unlike fluoxetine, pretreatment with EMD 68843 blocked expression of the 5-HT behavioral syndrome induced by 8-OH-DPAT. Taken together, the results show that EMD 68843 augments extracellular 5-HT levels in forebrain regions to a greater extent than fluoxetine. At higher doses, however, weak efficacy of EMD 68843 at postsynaptic 5-HT1A receptors may inhibit the expression of rodent antidepressant-like behaviors.  
IT 163521-12-8, Vilazodone  
RL: PAC (Pharmacological activity); BIOL (Biological study)  
(behavioral and neurochem. effects of EMD 68843, a combined selective inhibitor of serotonin reuptake and 5-HTA receptor partial agonist)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)

L29 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RE.CNT 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

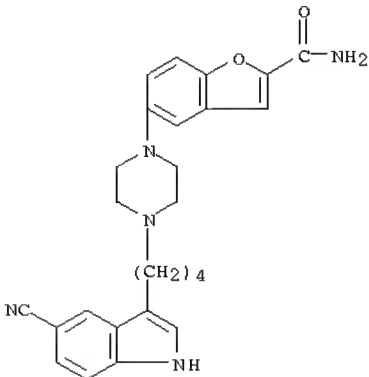
L29 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:713143 HCAPLUS  
DN 135:251990  
TI Compounds with 5-HT1A agonist activity useful for controlling glaucoma-associated visual field loss  
IN Collier, Robert J., Jr.; Hellberg, Mark R.; Dean, Thomas R.  
PA Alcon Universal Ltd., Switz.  
SO PCT Int. Appl., 15 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 3  
PATENT NO. KIND DATE APPLICATION NO. DATE  
PI WO2001070230 A2 20010927 2001WO-US05740 20010223 <--  
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA  
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR  
CA---2399985 A1 20010927 2001CA-2399985 20010223 <--  
EP---1267878 A2 20030102 2001EP-0914447 20010223 <--  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR  
BR2001009230 A 20030603 2001BR-0009230 20010223 <--  
JP2003527427 T 20030916 2001JP-0568428 20010223 <--  
PT---1263504 T 20031231 2001PT-0918208 20010223 <--  
ES---2204848 T3 20040501 2001ES-1918208 20010223 <--  
TW---268777 B 20061221 TW 2001-90106235 20010316 <--  
ZA2002006350 A 20030808 2002ZA-0006350 20020808 <--  
US2003119846 A1 20030626 2002US-0221056 20020909 <--  
MX2002PA09073 A 20030312 2002MX-PA09073 20020917 <--  
PRAI 2000US-190279P P 20000317 <--  
2001WO-US05740 W 20010223 <--  
AB Comps. with 5-HT1A agonist activity, e.g. buspirone, are disclosed which are useful for controlling the visual field loss associated with glaucoma. Ophthalmic formulations are included.  
IT 163521-12-8  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT1A agonist for controlling glaucoma-associated visual field loss, and use with other agents)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]- (CA INDEX NAME)



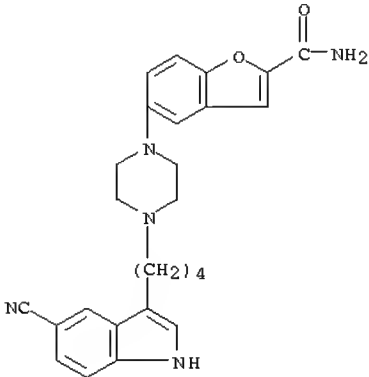
L29 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:713135 HCAPLUS  
DN 135:251988  
TI Compounds with 5-HT1a agonist activity useful for treating disorders of the outer retina  
IN Collier, Robert J., Jr.; Kapin, Michael A.; Hellberg, Mark R.; Dean, Thomas R.  
PA Alcon Universal Ltd., Switz.  
SO PCI Int. Appl., 23 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2001070222	A2	20010927	2001WO-US05700	20010223 <--
WO2001070222	A3	20020725		
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA---2400639	A1	20010927	2001CA-2400639	20010223 <--
EP---1263504	A2	20021211	2001EP-0918208	20010223 <--
EP---1263504	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR2001009211	A	20030211	2001BR-0009211	20010223 <--
AT----247507	T	20030915	2001AT-0918208	20010223 <--
JP2003527422	T	20030916	2001JP-0568420	20010223 <--
PT---1263504	T	20031231	2001PT-0918208	20010223 <--
ES---2204848	T3	20040501	2001ES-1918208	20010223 <--
TW----268777	B	20061221	TW 2001-90106235	20010316 <--
ZA2002006350	A	20030808	2002ZA-0006350	20020808 <--
US2003207890	A1	20031106	2002US-0221070	20020909 <--
KR----749191	B1	20070813	2002KR-0712170	20020916 <--
MX2002PA09072	A	20030312	2002MX-PA09072	20020917 <--
HK---1051504	A1	20040423	2003HK-0103444	20030515 <--
AU2005202600	A1	20050707	2005AU-0202600	20050615 <--
US2005256129	A1	20051117	2005US-0187474	20050722 <--
PRAI 2000US-190279P	P	20000317 <--		
2001WO-US05700	W	20010223 <--		
2002US-0221070	A1	20020909 <--		

AB Compns. and methods are disclosed for treating disorders of the outer retina with compds. with 5-HT1A agonist activity, e.g. buspirone.  
IT 163521-12-8, EMD-68843  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(5-HT1a agonist for treating disorder of outer retina)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



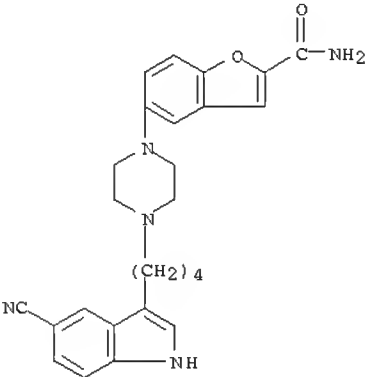
L29 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2001:576904 HCAPLUS  
DN 135:352641  
TI Distinct temporal pattern of the effects of the combined serotonin-reuptake inhibitor and 5-HT1A agonist EMD 68843 on the sleep EEG in healthy men  
AU Murck, H.; Frieboes, R. M.; Antonijevic, I. A.; Steiger, A.  
CS Max Planck Institute of Psychiatry, Munich, 80804, Germany  
SO Psychopharmacology (Berlin, Germany) (2001), 155(2), 187-192  
CODEN: PSCHDL; ISSN: 0033-3158  
PB Springer-Verlag  
DT Journal  
LA English  
AB EMD 68843 (EMD) has properties of a serotonin (5-HT)-reuptake inhibitor and a partial 5-HT1A agonist in 1 mol. to combine antidepressive and anxiolytic properties. The authors investigated the effects of EMD on the sleep EEG to characterize how the complex interaction between these 2 properties influences the sleep EEG. The authors performed a randomized crossover study in 10 young normal male controls (20-30 yr), receiving a single dose of 20 mg EMD or placebo orally at 2100 h. Sleep EEG was recorded from 23.00 to 07.00 h. After EMD, rapid eye movement (REM) sleep was nearly totally abolished. In the course of the night other effects on the sleep EEG occurred in distinct intervals. Slow wave sleep and EEG delta power increased in the 1st and 3rd one-third of the night, whereas wakefulness was enhanced in the 2nd and 3rd one-third of the night. The sleep EEG effects of EMD fit with its pharmacol. profile, which might lead to adaptive changes suggested to characterize an antidepressive substance.  
IT 163521-12-8, EMD 68843  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(EMD 68843 on sleep EEG in healthy men)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L29 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2008 ACS on STN  
AN 2000:605068 HCAPLUS  
DN 134:292112  
TI Drug action at the 5-HT1A receptor in vivo: autoreceptor and postsynaptic receptor occupancy examined with PET and [carbonyl-11C]WAY-100635  
AU Rabiner, E. A.; Gunn, R. N.; Wilkins, M. R.; Sargent, P. A.; Mocaer, E.; Sedman, E.; Cowen, P. J.; Grasby, P. M.  
CS Hammersmith Hospital, MRC Cyclotron Unit, Imperial College School of Medicine, London, UK  
SO Nuclear Medicine and Biology (2000), 27(5), 509-513  
CODEN: NMBIEO; ISSN: 0969-8051  
PB Elsevier Science Inc.  
DT Journal  
LA English  
AB Serotonin1A (5-HT1A) receptors have been implicated in the pathophysiol. and treatment of anxiety and depression and are a target for novel drug development. In this qual. study, positron emission tomog. (PET) and [carbonyl-11C]WAY-100635 were used to assess 5-HT1A autoreceptor and postsynaptic receptor occupancy in man in vivo by five different compds. with nanomolar affinity for this site. Occupancy by pindolol, penbutolol, buspirone, EMD 68843, and S 15535 was compared to test-retest data from 10 healthy volunteers. All drugs, apart from buspirone, displayed occupancy at the 5-HT1A receptor site. Pindolol demonstrated a preferential occupancy at the autoreceptor compared to the postsynaptic receptor over a plasma range of about 10-20 ng/mL. Differential occupancy may be an important component of novel drug action. The level of autoreceptor or postsynaptic occupancy needed to achieve significant physiol. effects is not known, although it is of note that none of the drugs in this study achieved occupancies beyond 60%. Overall this study demonstrates the utility of PET in aiding novel drug development.  
IT 163521-12-8, EMD 68843  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(drug action at 5-HT1A receptor in vivo: autoreceptor and postsynaptic receptor occupancy examined with PET and [carbonyl-11C]WAY-100635)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)

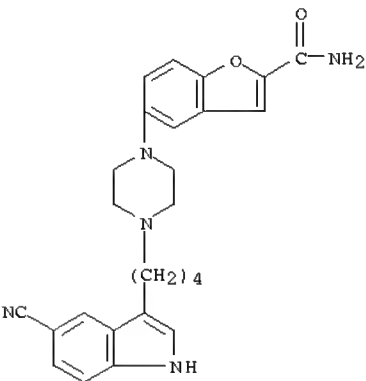


RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2008 ACS on SIN  
AN 2000:98327 HCAPLUS  
DN 132:146650  
TI Treating depression with a combination of a serotonin uptake inhibitor, a 5-HT1A presynaptic antagonist, and a 5-HT1A agonist  
IN Depoortere, Henri  
PA Sanofi-Synthelabo, Fr.  
SO PCT Int. Appl., 36 pp.  
CODEN: PIXXD2  
DT Patent  
LA French  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO2000006160	A1	20000210	1999WO-FR01825	19990726 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LI, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR---2781671	A1	20000204	1998FR-0009603	19980728 <--
AU---9949167	A1	20000221	1999AU-0049167	19990726 <--
PRAI 1998FR-0009603	A	19980728	<--	
1999WO-FR01825	W	19990726	<--	

AB Pharmaceutical compns. are provided which contain a serotonin uptake inhibitor (e.g. fluoxetine), a 5-HT1A presynaptic antagonist (e.g. pindolol), and a 5-HT1A agonist (e.g. buspirone) as a combination product for simultaneous, sep., or prolonged use for treating various forms of depression.  
IT 163521-12-8, EMD 68843  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(serotonin uptake inhibitor-5-HT1A presynaptic antagonist-5-HT1A agonist combination for treatment of depression)  
RN 163521-12-8 HCAPLUS  
CN 2-Benzofurancarboxamide, 5-[4-(4-(5-cyano-1H-indol-3-yl)butyl)-1-piperazinyl]- (CA INDEX NAME)



RE.CNI 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 16:14:58 ON 07 JAN 2008)

FILE 'REGISTRY' ENTERED AT 16:17:58 ON 07 JAN 2008

L1 STR  
 L2 3 L1  
 L3 320091 OC4-C6/ES  
 L4 10 L1 SAM SUB=L3  
 L5 150 L1 FULL SUB=L3  
 SAV TEM L5 J734737C1/A

FILE 'HCAPLUS' ENTERED AT 16:26:38 ON 07 JAN 2008

L6 1 US20070099933/PN  
 L7 1 US20060160824/PN  
 L8 2 L6-7

FILE 'REGISTRY' ENTERED AT 16:27:11 ON 07 JAN 2008

FILE 'HCAPLUS' ENTERED AT 16:27:11 ON 07 JAN 2008

L9 TRA L8 1- RN : 23 TERMS

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L10 23 SEA L9  
 L11 18 L10 AND L5

FILE 'HCAPLUS' ENTERED AT 16:27:58 ON 07 JAN 2008

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 E HEINRICH T/AU  
 L15 23 E3-4  
 E HEINRICH TIMO/AU  
 L16 41 E3  
 E BOTTCHE H/AU  
 L17 96 E3-6  
 E BOTTCHE HENNING/AU  
 L18 9 E3  
 E SCHIEMANN K/AU  
 L19 43 E3-4  
 E HOLZEMANN G/AU  
 L20 17 E3-5  
 E VAN AMSTERDAM C/AU  
 L21 53 E3-6  
 E BARTOSZYK G/AU  
 L22 122 E4-8  
 E LEIBROCK J/AU  
 L23 44 E3-6  
 E SEYFRIED C/AU  
 L24 114 E3-6  
 E SEYFRIED CHRISTOPH/AU  
 L25 116 E3-5  
 L26 34825 MERCK/CS,PA  
 L27 16 L12 AND L15-26  
 L28 16 L14,L27  
 L29 17 L13 NOT L28

FILE 'HCAOLD' ENTERED AT 16:52:20 ON 07 JAN 2008

L30 0 L5

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